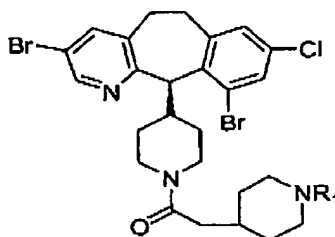


- 2 -

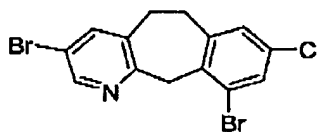
IN THE CLAIMS

1. (CURRENTLY AMENDED) An enantioselective process of preparing a compound represented by formula VI

**VI**

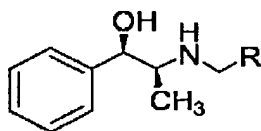
wherein R₁ is H or a protecting group;

which comprises contacting a compound represented by formula V

**V**

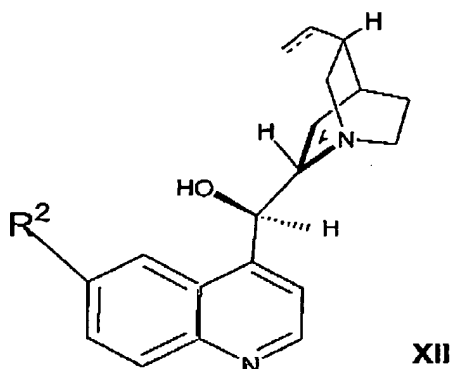
in an inert organic solvent with at least about an equivalent amount of each of:

(i) a chiral amino alcohol represented by the formula XI

**XI**

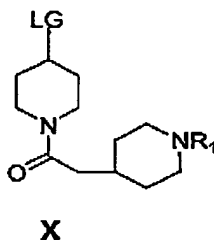
wherein R is an aryl, alkylaryl, alkoxyaryl, arylaryl, ~~heteroaryl~~ heteroaryl, or polycyclic aryl group, or formula XII

- 3 -



wherein in formula **XII**, the dotted line represents an optional second bond and wherein R^2 is selected from alkoxy, alkoxyalkoxy, aryloxy, arylalkoxy, and $NR^A R^B$, wherein R^A and R^B are independently alkyl or aryl, and R^2 is optionally substituted by one or more alkoxy groups;

(ii) a compound represented by formula **X**



wherein LG is a leaving group and said leaving group is a sulfonate, and R_1 is H or a protecting group; and

(iii) an organic ether or amine additive or wherein the organic ether or amine additive is 2-isopropylamine, tetramethylethylenediamine or N-ethylaniline, N-phenyl, N-benzylamine or N-phenyl, 1-or 2-naphthyl amine or mixtures thereof to form a reaction mixture;

then adding to the reaction mixture at least an equivalent amount of a non-nucleophilic strong base in an organic solvent wherein the non-nucleophilic strong base is a lithium base selected from the group consisting of lithium diisopropyl amide, lithium N-butyl-N-phenyl amide, lithium bis(trimethylsilyl)amide, and lithium N-ethyl,N-phenyl amide, and optionally adding an equivalent amount of water or a $C_1 - C_3$ alcohol to produce the compound represented by formula **VI**.

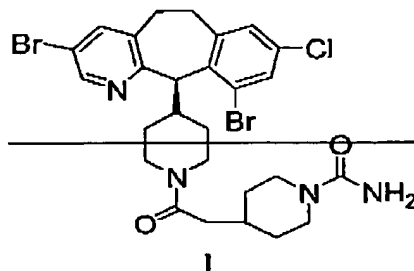
- 4 -

2. Cancelled. This claim is cancelled without prejudice.
3. Cancelled. This claim is cancelled without prejudice.
4. Cancelled. This claim is cancelled without prejudice.
5. (ORIGINAL) The process of claim 1 wherein the reaction is conducted under an inert atmosphere.
6. (ORIGINAL) The process of claim 1 wherein water is added to the reaction mixture comprising compound **V**, the chiral amino alcohol, compound **X**, the organic additive, and the non-nucleophilic strong base.
7. (CURRENTLY AMENDED) The process of claim 1 which further comprises adding about 0.5 to about 1.2 equivalents of water to the reaction mixture comprising about 0.7 to about 1.2 equivalents of each of compound **V**, about 1.0 to about 2.5 equivalents of the chiral amino alcohol, compound **X**, about 1.0 to about 3.0 equivalents of the organic additive, and about 0.9 to about 1.1 equivalents of the non-nucleophilic strong base.
8. (CURRENTLY AMENDED) The process of claim 7 which further comprises adding about 1.8 to about 2.4 additional equivalents of the non-nucleophilic strong base, in two approximately equal portions, to the resulting reaction mixture formed by the adding water the process of claim 7.
9. (ORIGINAL) The process of claim 1 wherein the chiral amino alcohol is quinine or a quinine derivative of formula **XII**.
10. (CURRENTLY AMENDED) The process of claim 1 which further comprises treating the compound of formula **VI** wherein R_1 is a protecting group with sufficient aqueous acid to produce a reaction mixture comprising the compound of formula **VI** wherein R_1 is H, and adding to the reaction mixture at least about an equivalent of a

- 5 -

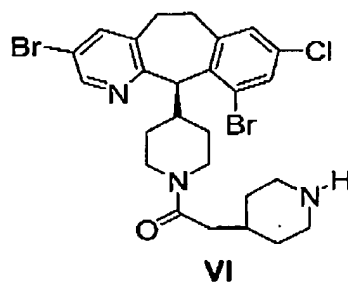
chiral organic acid to form an acid addition salt, and then isolating the acid addition salt and then contacting the resulting isolated acid addition salt with sufficient base in a solvent to form the compound of formula VI wherein R₁ is H ____

11. (ORIGINAL) The process of claim 10 wherein the chiral organic acid is N- α -(tert-butoxycarbonyl)-L-asparagine, di-p-toluoyl-L-tartaric acid, N-(tert-butoxycarbonyl)-L-proline, (S)-(-)-2-hydroxy-3,3-dimethylbutyric acid, N-acetyl-L-phenylalanine or (1R)-(+)-camphanic acid.
12. (ORIGINAL) The process of claim 1 wherein the chiral amino alcohol is quinine.
13. (ORIGINAL) The process of claim 1 wherein in compound X, LG is mesylate, and R₁ is t-butoxycarbonyl.
14. Cancelled. This claim is cancelled without prejudice.
15. Cancelled. This claim is cancelled without prejudice.
16. Cancelled. This claim is cancelled without prejudice.
17. (CURRENTLY AMENDED) The process of claim 1 further comprising A
~~process for the preparation of a compound represented by formula I~~



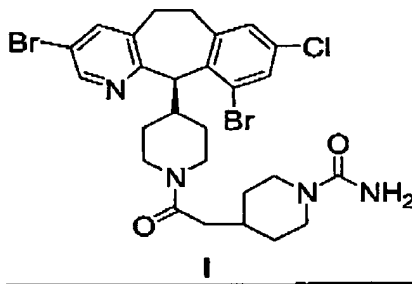
~~which comprises~~ contacting a compound represented by the formula VI

- 6 -



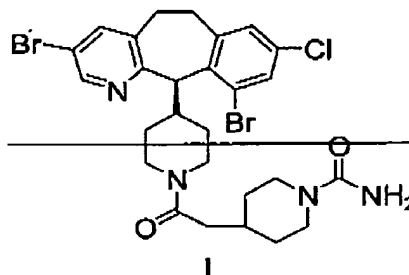
VI

with an effective amount of sodium cyanate (NaOCN), and an effective amount of sodium carbonate (Na_2CO_3) in a water miscible organic solvent comprising an effective amount of water to form the compound represented by the formula I



I

18. (CURRENTLY AMENDED) The process of claim 17 which further comprises contacting the compound represented by the formula I produced in claim 17 with a solvent mixture comprising tetrahydrofuran, ethyl acetate and water for a time sufficient to produce the compound represented by the formula I, in a substantially chemically pure form.



I

19. Cancelled. This claim is cancelled without prejudice.

- 7 -

20. (NEW) The process of claim 17 wherein the equivalent amount of sodium cyanate was about 1 to about 6 equivalents, and the equivalent amount of sodium carbonate was about 0 to about 1 equivalents.

21. (NEW) The process of claim 20 wherein the equivalent amount of sodium cyanate was about 2.2 to about 2.4 equivalents, and the equivalent amount of sodium carbonate was about 0.1 to about 0.3 equivalents.

22. (NEW) The process of claim 1 wherein:

(a) about 1.2 to 1.4 equivalents of the non-nucleophilic strong base are added to a solution containing:

(i) an equivalent of the compound of formula V,

(ii) about 1.0 to about 2.0 equivalents of the compound of formula X,

and

(iii) about 1.0 to about 4.0 equivalents of the chiral amino alcohol XI or XII, and

(iv) at least about 1.0 equivalents of the organic amine or ether additive,

while maintaining the temperature of the so-formed reaction mixture at about 5 °C to about 50 °C;

(b) the mixture from step (a) is cooled to about 0 °C to about 10 °C, and about 0.1 to about 3.0 equivalents of water are added;

(c) an additional about 0.9 to about 1.1 equivalents of the non-nucleophilic strong base are added to the mixture from step (b) while maintaining the temperature at about 0 °C to about 10 °C; and

(d) the temperature of the mixture from step (c) is raised to about 10 °C to about 50 °C and an additional about 1.0 to about 1.5 equivalents of the non-nucleophilic strong base are added while maintaining the temperature at about 10 °C to about 50 °C.

- 8 -

23. (NEW) The process of claim 1 wherein:

(a) about 1.3 equivalents of the non-nucleophilic strong base are added to a solution containing:

(i) an equivalent of the compound of formula V,

(ii) about 1.0 to about 1.5 equivalents of the compound of formula X,

and

(iii) about 1.2 to about 3.5 equivalents of the chiral amino alcohol XI or XII, and

(iv) about 1.0 to about 4.0 equivalents of the organic amine or ether additive,

while maintaining the temperature of the so-formed reaction mixture at about 10 °C to about 45 °C;

(b) the mixture from step (a) is cooled to about 0 °C to about 5 °C, and about 0.5 to about 1.2 equivalents of water are added;

(c) an additional about 1.0 equivalents of the non-nucleophilic strong base is added to the mixture from step (b) while maintaining the temperature at about 0 °C to about 8 °C; and

(d) the temperature of the mixture from step (c) is raised to about 15 °C to about 45 °C and an additional about 1.1 to about 1.4 equivalents of the non-nucleophilic strong base are added while maintaining the temperature at about 15 °C to about 45 °C.

24. (NEW) The process of claim 1 wherein:

(a) about 1.3 equivalents of the non-nucleophilic strong base are added to a solution containing:

(i) an equivalent of the compound of formula V,

(ii) about 1.1 to about 1.3 equivalents of the compound of formula X,

and

(iii) about 1.3 to about 3.0 equivalents of the chiral amino alcohol XI or XII, and

(iv) about 1.2 to about 3.0 equivalents of the organic amine or ether additive,

- 9 -

while maintaining the temperature of the so-formed reaction mixture at about 15 °C to about 25 °C;

(b) the mixture from step (a) is cooled to about 0 °C to about 5 °C, and about 0.5 to about 1.0 equivalents of water are added;

(c) an additional about 1.0 equivalents of the non-nucleophilic strong base is added to the mixture from step (b) while maintaining the temperature at about 0 °C to about 8 °C; and

(d) the temperature of the mixture from step (c) is raised to about 15 °C to about 40 °C and an additional about 1.1 to about 1.4 equivalents of the non-nucleophilic strong base are added while maintaining the temperature at about 15 °C to about 40 °C.

25. (NEW) The process of claim 1 wherein the inert organic solvent is selected from the group consisting of: toluene, benzene, cyclohexane, tetrahydrofuran, anisole, chlorobenzene, and mixtures thereof.

26. (NEW) The process of claim 1 wherein the inert organic solvent is selected from the group consisting of: toluene, ethylbenzene and a mixture thereof.

27. (NEW) The process of claim 1 wherein the inert organic solvent is a mixture of toluene and ethylbenzene wherein the v/v ratio of toluene to ethylbenzene ranges from 1:5 to 1:1.

28. (NEW) The process of claim 1 wherein the chiral amino alcohol is quinine, the non-nucleophilic lithium base is lithium di-isopropyl amide, the organic amine or ether additive is 2-isopropylaniline or a 3:1 mixture of N-phenyl, N-benzyl amine and TMEDA, the solvent is toluene, and water is added after the first addition of lithium di-isopropyl amide; and about 2.0 to about 3.0 additional equivalents of lithium di-isopropyl amide, as lithium di-isopropyl amide -THF, are added in two equal portions.

29. (NEW) The process of claim 1 wherein to a mixture of 1.0 equivalent of compound V, 1.2 equivalents of compound X, 2.1 equivalents of quinine, and 2.0 equivalents of 2-isopropylaniline, there is sequentially added 2.1 equivalents of lithium

- 10 -

di-isopropyl amide -THF (1 to 2 molar in ethylbenzene), 0.7 equivalents of water, and 0.7 equivalents of lithium di-isopropyl amide -THF, wherein the temperature of the so-formed reaction mixture is adjusted to between 15° and 40°C, and a third portion of 1.3 equivalents of lithium di-isopropyl amide -THF is added over a period of 4 to 10 hours.

30. (NEW) The process of claim 29 further comprising the crystallization of the acid addition salt formed by contacting the free base VI with at least one equivalent of a chiral acid selected from the group consisting of N- α -t-Boc-L-asparagine and N-acetyl-L-phenylalanine

31. (NEW) The process of claim 1 wherein 1.0 equivalent of the lithium di-isopropyl amide-THF in ethylbenzene is pre-mixed with 0.5 equivalents of isopropylaniline, and then to a mixture of 1.0 equivalent of compound V, 1.1 equivalents of compound X, and 1.5 equivalents of quinine, there is sequentially added 2.1 equivalents of the lithium di-isopropyl amide-THF/2-isopropylaniline base complex, 0.7 equivalents of water, and 0.7 equivalents of lithium di-isopropyl amide-THF/2-isopropylaniline base complex, and the temperature of the mixture is adjusted to between 15° to 40°C, and a third portion of 1.3 equivalents of lithium di-isopropyl amide-THF/2-isopropylaniline base complex is added over 3 to 10 hours.

32. (NEW) The process of claim 31 further comprising the crystallization of acid addition salt formed by contacting the free base VI with at least one equivalent of a chiral acid selected from the group consisting of: N- α -t-Boc-L-asparagine and N-acetyl-L-phenylalanine.